

(3 Hours)

[Total Marks: 80]

- N.B.:** 1. All Questions are compulsory
2. Figures to right indicate full marks

Q. 1 (a) Answer the following questions.

(8)

- Give an example of an anticancer agent that works as a mitosis inhibitor (no structure)
- Give the name and structure of a Non-Nucleoside Reverse Transcriptase Inhibitor with a cyclopropyl moiety
- Give the name and structure of a nitrite containing cardiovascular drug
- Give the name and structure of a β -blocker used as antiarrhythmic agent
- Give the name and structure of a Non-steroidal potassium sparing diuretic
- Give the name and structure of a nitrosourea analogue used in brain tumours
- Give the name and structure of a thiazolidinedione containing drug and indicate its use
- Give the name and structure of a biguanide

Q. 1 (b) Answer the following questions.

(8)

- State whether the following statements are True or False and make necessary corrections
 - Quinine and Quinidine are mirror images of each other
 - All ACE Inhibitors are amphoteric in nature
- Given below are the chemical names of drugs used in the treatment of hypertension. Draw their structure and state to which class they belong
 - 1-(4-amino-6,7-dimethoxy-2-quinazoliny)-4-(2-furoyl)-piperazine
 - 1-(isopropylamino)-3-(1-naphthyloxy)-2-propanol
- Give two examples with structures of synthetic HMG-CoA Reductase Inhibitors
- Histamine has two pka values of 5.80 and 6.40. Draw the ionized forms of histamine that correspond to these two pka values. Also draw the two tautomers of histamine

Q. 1 (c) Match the following:

(4)

i	Dasatinib	a	Carbonic Anhydrase Inhibitor
ii	Zanamivir	b	ACE Inhibitor
iii	Lisinopril	c	HIV Protease Inhibitor
iv	Methazolamide	d	Neuraminidase Inhibitor
		e	Tyrosine Kinase Inhibitor

Q. 2.

- a. Classify antimetabolites used as antineoplastic agents giving one example from each class (4)

OR

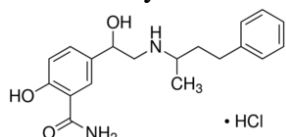
- List the N-mustard drugs that function as alkylating agents. Describe their mechanism of action and SAR.
- List the therapeutic targets exploited in the treatment of HIV infection. Give the structures and mechanism of action of drugs acting upon any two targets (4)
- Give structure and explain the mechanism of action of the following vasodilators (2)
 - Hydralazine
 - Minoxidil
- Explain the mechanism of action of Fibrates and outline the bioactivation of Clofibrate (2)

Q. 3.

- a. Discuss Sodium Channel Blockers as Antiarrhythmic agents (4)

OR

- a. Outline synthesis of Procainamide with reactants and reaction conditions (3)
Give an example of an antiarrhythmic agent with Potassium Channel Blocking activity (1)
- b. Discuss the structural features of the 1st and 2nd generation sulfonylureas. Support your answers with relevant structures (4)
- c. Identify the structure and comment on the stereochemistry of the molecule with respect to its activity (2)



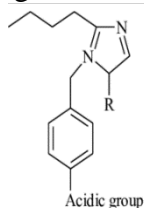
- d. Explain the mechanism of action of Omeprazole (2)

Q. 4.

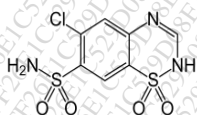
- a. Discuss the mechanism of action and SAR of antiviral acyclic nucleosides (4)

OR

- a. Outline the synthesis of amantadine giving reactants and reaction conditions (4)
- b. What structural features and / or modifications are essential in the following scaffold for angiotensin II receptor blocking activity. Give relevant examples (4)



- c. Answer the following questions with respect to the structure given below (any two) (2)



- i. State the acidic functional group present in this structure (1)
- ii. Give the effect of replacing the C₆-H with Cl function (1)
- iii. Give the name and use of a drug having this scaffold (1)
- d. Esmolol is the shortest acting β -blocker. Explain (1)
- e. Give name of GLP-1 receptor agonist which is a DPP-IV inhibitor. (1)

Q. 5.

- a. Give the advantage of second generation H₁ antagonists. With respect to loratadine and cetirizine explain the structural modifications that resulted in the decreased CNS side effects (4)

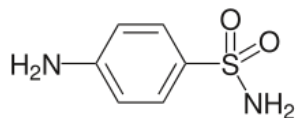
OR

- a. Outline the strategy that led to the structural derivation of the H₂ antagonist cimetidine from histamine (4)
- b. Enlist the anticancer antibiotics, discuss their essential structural features and mechanism of action (4)

- c. Give examples of the following
 - i. An aldosterone agonist (2)
 - ii. A renin inhibitor
- d. Give metabolites of the following (2)
 - i. Ezetimibe
 - ii. Lidocaine

Q. 6.

- a. Discuss the structural modifications on the following scaffold to yield diuretic activity (4)



OR

- Outline the synthesis of furosemide with reactants and reaction conditions (3)
- Give the name and structure of an aldosterone antagonist (1)
- b. List the structural classes of Calcium Channel Blockers. Give examples of each class with structure (4)
- c. Outline the synthesis of Chlorambucil with reagents and reaction conditions at each step (3)
- d. Name one prodrug used as an angiotensin-II receptor blocker (1)
